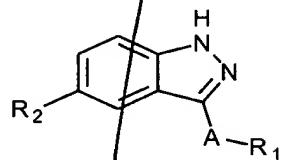


What is claimed is:

1. A compound having the structure:

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10 or a pharmaceutically acceptable salt thereof, wherein:

A is a direct bond,  $-(CH_2)_a$ ,  $-(CH_2)_bCH=CH(CH_2)_c$ , or  $-(CH_2)_bC\equiv C(CH_2)_c$ ;

$R_1$  is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from  $R_3$ ;

$R_2$  is  $-R_3$ ,  $-R_4$ ,  $-(CH_2)_bC(=O)R_5$ ,  $-(CH_2)_bC(=O)OR_5$ ,  $-(CH_2)_bC(=O)NR_5R_6$ ,

$-(CH_2)_bC(=O)NR_5(CH_2)_cC(=O)R_6$ ,  $-(CH_2)_bNR_5C(=O)R_6$ ,

$-(CH_2)_bNR_5C(=O)NR_6R_7$ ,  $-(CH_2)_bNR_5R_6$ ,  $-(CH_2)_bOR_5$ ,

$-(CH_2)_bSO_dR_5$  or  $-(CH_2)_bSO_2NR_5R_6$ ;

$a$  is 1, 2, 3, 4, 5 or 6;

$b$  and  $c$  are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

$d$  is at each occurrence 0, 1 or 2;

$R_3$  is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl,  $-C(=O)OR_8$ ,  $-C(=O)R_8$ ,  $-C(O)NR_8R_9$ ,  $-C(=O)NR_8OR_9$ ,  $-SO_2NR_8R_9$ ,  $-NR_8SO_2R_9$ ,  $-CN$ ,  $-NO_2$ ,  $-NR_8R_9$ ,  $-NR_8C(=O)R_9$ ,  $-NR_8C(=O)(CH_2)_bOR_9$ ,  $-NR_8C(=O)(CH_2)_bR_9$ ,  $-O(CH_2)_bNR_8R_9$ , or heterocycle fused to phenyl;

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$R_4$  is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from  $R_3$ , or  $R_4$  is halogen or hydroxy;

$R_5$ ,  $R_6$  and  $R_7$  are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of  $R_5$ ,  $R_6$  and  $R_7$  are optionally substituted with one to four substituents independently selected from  $R_3$ ; and

R<sub>8</sub> and R<sub>9</sub> are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R<sub>8</sub> and R<sub>9</sub> taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R<sub>8</sub>, R<sub>9</sub>, and R<sub>8</sub> and R<sub>9</sub> taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R<sub>3</sub>

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with the proviso that:

when A is a direct bond and R<sub>1</sub> is phenyl,

R<sub>2</sub> is not methyl, methoxy, C(=O)CH<sub>3</sub> or C(=O)H;

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when A is a direct bond and R<sub>1</sub> is 4-Me-phenyl,

R<sub>2</sub> is not methyl;

when A is a direct bond and R<sub>1</sub> is 4-F-phenyl,

R<sub>2</sub> is not trifluoromethyl;

when A is a direct bond or -C≡C- and R<sub>1</sub> is phenyl,

R<sub>2</sub> is not -COOEt; and

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when A is a direct bond and R<sub>1</sub> is 6,7-dimethoxyisoquinolin-1-yl,

R<sub>2</sub> is not hydroxy.

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2. The compound of claim 1 wherein:

R<sub>2</sub> is -R<sub>4</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)R<sub>5</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)OR<sub>5</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>R<sub>6</sub>,  
-(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>(CH<sub>2</sub>)<sub>c</sub>C(=O)R<sub>6</sub>, -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)R<sub>6</sub>,  
-(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)NR<sub>6</sub>R<sub>7</sub>, -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>b</sub>OR<sub>5</sub>, -(CH<sub>2</sub>)<sub>b</sub>SO<sub>d</sub>R<sub>5</sub> or  
-(CH<sub>2</sub>)<sub>b</sub>SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>.

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3. The compound of claim 1 wherein A is a direct bond.

4. The compound of claim 1 wherein A is -(CH<sub>2</sub>)<sub>a</sub>-.

5. The compound of claim 1 wherein A is -(CH<sub>2</sub>)<sub>b</sub>CH=CH(CH<sub>2</sub>)<sub>c</sub>-.

6. The compound of claim 1 wherein A is -(CH<sub>2</sub>)<sub>b</sub>C≡C(CH<sub>2</sub>)<sub>c</sub>-.

7. The compound of claim 1 wherein R<sub>1</sub> is aryl optionally substituted with one to four substituents independently selected from R<sub>3</sub>.

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8. The compound of claim 1 wherein R<sub>1</sub> is heteroaryl optionally substituted with one to four substituents independently selected from R<sub>3</sub>.

9. The compound of claim 1 wherein R<sub>1</sub> is heterocycle fused to phenyl  
5 optionally substituted with one to four substituents independently selected from R<sub>3</sub>.

10. The compound of claim 1 wherein R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>C(=O)R<sub>5</sub>.

11. The compound of claim 1 wherein R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>R<sub>6</sub>.

12. The compound of claim 1 wherein R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)R<sub>6</sub>.

13. The compound of claim 1 wherein R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>R<sub>6</sub>.

15 14. The compound of claim 1 wherein R<sub>2</sub> is R<sub>4</sub>.

15. The compound of claim 14 wherein R<sub>4</sub> is substituted alkyl.

20 16. The compound of claim 14 wherein R<sub>4</sub> is substituted arylalkyl.

17. The compound of claim 14 wherein R<sub>4</sub> is substituted heterocycle.

18. The compound of claim 14 wherein R<sub>4</sub> is 3-triazolyl, optionally substituted at its 5-position with:

25 (a) a C<sub>1</sub>-C<sub>4</sub> straight or branched chain alkyl group optionally substituted with a hydroxyl, methylamino, dimethylamino or 1-pyrrolidinyl group; or

(b) a 2-pyrrolidinyl group.

30 19. The compound of claim 14 wherein R<sub>4</sub> is tetrazole.

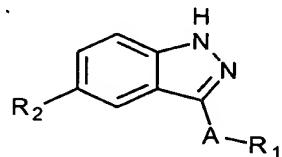
20. The compound of claim 14 wherein R<sub>4</sub> is imidazole.

21. A composition comprising the compound of claim 1 and a pharmaceutically acceptable carrier.

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22. A method for treating a condition responsive to JNK inhibition, comprising administering to a patient in need thereof an effective amount of a compound having the structure:

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or a pharmaceutically acceptable salt thereof,

10 wherein:

A is a direct bond, -(CH<sub>2</sub>)<sub>a</sub>, -(CH<sub>2</sub>)<sub>b</sub>CH=CH(CH<sub>2</sub>)<sub>c</sub>, or -(CH<sub>2</sub>)<sub>b</sub>C≡C(CH<sub>2</sub>)<sub>c</sub>;

R<sub>1</sub> is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R<sub>3</sub>;

R<sub>2</sub> is -R<sub>3</sub>, -R<sub>4</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)R<sub>5</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)OR<sub>5</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>R<sub>6</sub>,

-(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>(CH<sub>2</sub>)<sub>c</sub>C(=O)R<sub>6</sub>, -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)R<sub>6</sub>,

-(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)NR<sub>6</sub>R<sub>7</sub>, -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>b</sub>OR<sub>5</sub>,

-(CH<sub>2</sub>)<sub>b</sub>SO<sub>d</sub>R<sub>5</sub> or -(CH<sub>2</sub>)<sub>b</sub>SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>,

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R<sub>3</sub> is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR<sub>8</sub>, -OC(=O)R<sub>8</sub>, -C(=O)NR<sub>8</sub>R<sub>9</sub>, -C(=O)NR<sub>8</sub>OR<sub>9</sub>, -SO<sub>2</sub>NR<sub>8</sub>R<sub>9</sub>, -NR<sub>8</sub>SO<sub>2</sub>R<sub>9</sub>, -CN, -NO<sub>2</sub>, -NR<sub>8</sub>R<sub>9</sub>, -NR<sub>8</sub>C(=O)R<sub>9</sub>, -NR<sub>8</sub>C(=O)(CH<sub>2</sub>)<sub>b</sub>OR<sub>9</sub>, -NR<sub>8</sub>C(=O)(CH<sub>2</sub>)<sub>b</sub>R<sub>9</sub>, -O(CH<sub>2</sub>)<sub>b</sub>NR<sub>8</sub>R<sub>9</sub>, or heterocycle fused to phenyl;

R<sub>4</sub> is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R<sub>3</sub>, or R<sub>4</sub> is halogen or hydroxy;

R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are optionally substituted with one to four substituents independently selected from R<sub>3</sub>; and

$R_8$  and  $R_9$  are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or  $R_8$  and  $R_9$ , taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of  $R_8$ ,  $R_9$ , and  $R_8$  and  $R_9$  taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from  $R_3$ .

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23. The method of claim 22 wherein:

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$R_2$  is  $-R_4$ ,  $-(CH_2)_bC(=O)R_5$ ,  $-\overline{(CH_2)_b}C(=O)OR_5$ ,  $-(CH_2)_bC(=O)NR_5R_6$ ,  
 $-(CH_2)_bC(=O)NR_5(CH_2)_cC(=O)R_6$ ,  $-(CH_2)_bNR_5C(=O)R_6$ ,  
 $-(CH_2)_bNR_5C(=O)NR_6R_7$ ,  $-(CH_2)_bNR_5R_6$ ,  $-(CH_2)_bOR_5$ ,  $-(CH_2)_bSO_dR_5$  or  
 $-(CH_2)_bSO_2NR_5R_6$ .

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24. The method of claim 22 wherein the condition is cancer.

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25. The method of claim 22 wherein the condition is rheumatoid arthritis; rheumatoid spondylitis; osteoarthritis; gout; asthma, bronchitis; allergic rhinitis; chronic obstructive pulmonary disease; cystic fibrosis; inflammatory bowel disease; irritable bowel syndrome; mucous colitis; ulcerative colitis; Crohn's disease; Huntington's disease; gastritis; esophagitis; hepatitis; pancreatitis; nephritis; multiple sclerosis; endotoxin shock; lupus erythematosus; Type II diabetes; psoriasis; burn caused by exposure to fire, chemicals or radiation; eczema; dermatitis; skin graft; ischemia; ischemic conditions associated with surgery or traumatic injury; cachexia or angiogenic and proliferative diseases.

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26. The method of claim 22 wherein the condition is atherosclerosis, restenosis following angioplasty, left ventricular hypertrophy, or myocardial infarction.

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27. The method of claim 22 wherein the condition is stroke or ischemic damages of heart, lung, gut, kidney, liver, pancreas, spleen or brain.

28. The method of claim 22 wherein the condition is acute or chronic organ transplant rejection, preservation of the organ for transplantation, graft versus host disease or multiple organ failure.

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29. The method of claim 22 wherein the condition is epilepsy, Alzheimer's disease, or Parkinson's disease.

30. The method of claim 22 wherein the condition is an immunological response  
5 to bacterial or viral infection.

31. The method of claim 22 wherein the condition is solid tumor or cancers of a variety of tissues such as colon, rectum, prostate, liver, lung, bronchus, pancreas, brain, head, neck, stomach, skin, kidney, cervix, blood, larynx, esophagus, mouth, pharynx, urinary bladder,  
10 ovary or uterine.

32. The method of claim 22 wherein A is a direct bond.

15 33. The method of claim 22 wherein A is  $-(CH_2)_a-$ .

34. The method of claim 22 wherein A is  $-(CH_2)_bCH=CH(CH_2)_c-$ .

20 35. The method of claim 22 wherein A is  $-(CH_2)_bC\equiv C(CH_2)_c-$ .

36. The method of claim 22 wherein R<sub>1</sub> is aryl optionally substituted with one to four substituents independently selected from R<sub>3</sub>.

25 37. The method of claim 22 wherein R<sub>1</sub> is heteroaryl optionally substituted with one to four substituents independently selected from R<sub>3</sub>.

38. The method of claim 22 wherein R<sub>1</sub> is heterocycle fused to phenyl optionally substituted with one to four substituents independently selected from R<sub>3</sub>.

30 39. The method of claim 22 wherein R<sub>2</sub> is  $-(CH_2)_bC(=O)R_5$ .

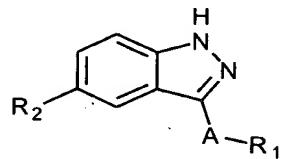
40. The method of claim 22 wherein R<sub>2</sub> is  $-(CH_2)_bC(=O)NR_5R_6$ .

41. The method of claim 22 wherein R<sub>2</sub> is  $-(CH_2)_bNR_5C(=O)R_6$ .

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42. The method of claim 22 wherein R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>R<sub>6</sub>.
43. The method of claim 22 wherein R<sub>2</sub> is R<sub>4</sub>.
- 5 44. The method of claim 43 wherein R<sub>4</sub> is substituted alkyl.
45. The method of claim 43 wherein R<sub>4</sub> is substituted arylalkyl.
- 10 46. The method of claim 43 wherein R<sub>4</sub> is substituted heterocycle.
47. The method of claim 43 wherein R<sub>4</sub> is 3-triazolyl, optionally substituted at its 5-position with:
- 15 (a) a C<sub>1</sub>-C<sub>4</sub> straight or branched chain alkyl group optionally substituted with a hydroxyl, methylamino, dimethylamino or 1-pyrrolidinyl group; or  
(b) a 2-pyrrolidinyl group.
48. The method of claim 43 wherein R<sub>4</sub> is tetrazole.
- 20 49. The method of claim 43 wherein R<sub>4</sub> is imidazole.
50. A method for treating or preventing rheumatoid arthritis; rheumatoid spondylitis; osteoarthritis; gout; asthma, bronchitis; allergic rhinitis; chronic obstructive pulmonary disease; cystic fibrosis; inflammatory bowel disease; irritable bowel syndrome; mucous colitis; ulcerative colitis; Crohn's disease; Huntington's disease; gastritis; esophagitis; hepatitis; 25 pancreatitis; nephritis; multiple sclerosis; lupus erythematosus; Type II diabetes; atherosclerosis; restenosis following angioplasty; left ventricular hypertrophy; myocardial infarction; stroke; ischemic damages of heart, lung, gut, kidney, liver, pancreas, spleen and brain; acute or chronic organ transplant rejection; preservation of an organ for transplantation; graft versus host disease; endotoxin shock; multiple organ failure; psoriasis; burn caused by exposure to fire, chemicals, or 30 radiation; eczema; dermatitis; skin graft; ischemia; ischemic conditions associated with surgery or traumatic injury; epilepsy; Alzheimer's disease; Parkinson's disease; immunological response to bacterial or viral infection; cachexia; angiogenic and proliferative diseases; solid tumor; and cancers of a variety of tissues such as colon, rectum, prostate, liver, lung, bronchus, pancreas, brain, head, neck, stomach, skin, kidney, cervix, blood, larynx, esophagus, mouth, pharynx, urinary
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bladder, ovary, or uterine comprising administering to a patient in need of such treatment or prevention an effective amount of a compound having the structure:

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or a pharmaceutically acceptable salt thereof,

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wherein:

A is a direct bond, -(CH<sub>2</sub>)<sub>a</sub>-, -(CH<sub>2</sub>)<sub>b</sub>CH=CH(CH<sub>2</sub>)<sub>c</sub>-, or -(CH<sub>2</sub>)<sub>b</sub>C≡C(CH<sub>2</sub>)<sub>c</sub>-;

R<sub>1</sub> is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R<sub>3</sub>;

15 R<sub>2</sub> is -R<sub>3</sub>, -R<sub>4</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)R<sub>5</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)OR<sub>5</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>R<sub>6</sub>,

-(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>(CH<sub>2</sub>)<sub>c</sub>C(=O)R<sub>6</sub>, -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)R<sub>6</sub>,

-(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)NR<sub>6</sub>R<sub>7</sub>, -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>b</sub>OR<sub>5</sub>,

-(CH<sub>2</sub>)<sub>b</sub>SO<sub>d</sub>R<sub>5</sub> or -(CH<sub>2</sub>)<sub>b</sub>SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>;

a is 1, 2, 3, 4, 5 or 6;

20 b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R<sub>3</sub> is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted 25 heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR<sub>8</sub>, -OC(=O)R<sub>8</sub>, -C(=O)NR<sub>8</sub>R<sub>9</sub>, -C(=O)NR<sub>8</sub>OR<sub>9</sub>, -SO<sub>2</sub>NR<sub>8</sub>R<sub>9</sub>, -NR<sub>8</sub>SO<sub>2</sub>R<sub>9</sub>, -CN, -NO<sub>2</sub>, -NR<sub>8</sub>R<sub>9</sub>, -NR<sub>8</sub>C(=O)R<sub>9</sub>, -NR<sub>8</sub>C(=O)(CH<sub>2</sub>)<sub>b</sub>OR<sub>9</sub>, -NR<sub>8</sub>C(=O)(CH<sub>2</sub>)<sub>b</sub>R<sub>9</sub>, -O(CH<sub>2</sub>)<sub>b</sub>NR<sub>8</sub>R<sub>9</sub>, or heterocycle fused to phenyl;

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R<sub>4</sub> is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R<sub>3</sub>, or R<sub>4</sub> is halogen or hydroxy;

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R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are optionally substituted with one to four substituents 35 independently selected from R<sub>3</sub>; and

R<sub>8</sub> and R<sub>9</sub> are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R<sub>8</sub> and R<sub>9</sub> taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R<sub>8</sub>, R<sub>9</sub>, and R<sub>8</sub> and R<sub>9</sub> taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R<sub>3</sub>.

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51. The method of claim 50 wherein:

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R<sub>2</sub> is -R<sub>4</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)R<sub>5</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)OR<sub>5</sub>, -(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>R<sub>6</sub>,  
-(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>(CH<sub>2</sub>)<sub>c</sub>C(=O)R<sub>6</sub>, -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)R<sub>6</sub>,  
-(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)NR<sub>6</sub>R<sub>7</sub>, -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>b</sub>OR<sub>5</sub>, -(CH<sub>2</sub>)<sub>b</sub>SO<sub>d</sub>R<sub>5</sub> or  
-(CH<sub>2</sub>)<sub>b</sub>SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>.

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52. The method of claim 50 wherein A is a direct bond.

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53. The method of claim 50 wherein A is -(CH<sub>2</sub>)<sub>a</sub>-.

54. The method of claim 50 wherein A is -(CH<sub>2</sub>)<sub>b</sub>CH=CH(CH<sub>2</sub>)<sub>c</sub>-.

25

55. The method of claim 50 wherein A is -(CH<sub>2</sub>)<sub>b</sub>C≡C(CH<sub>2</sub>)<sub>c</sub>-.

56. The method of claim 50 wherein R<sub>1</sub> is aryl optionally substituted with one to four substituents independently selected from R<sub>3</sub>.

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57. The method of claim 50 wherein R<sub>1</sub> is heteroaryl optionally substituted with one to four substituents independently selected from R<sub>3</sub>.

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58. The method of claim 50 wherein R<sub>1</sub> is heterocycle fused to phenyl optionally substituted with one to four substituents independently selected from R<sub>3</sub>.

59. The method of claim 50 wherein R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>C(=O)R<sub>5</sub>.

60. The method of claim 50 wherein R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>R<sub>6</sub>.

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- Suh A Z
61. The method of claim 50 wherein  $R_2$  is  $-(CH_2)NR_5C(=O)R_6$ .
61. The method of claim 50 wherein  $R_2$  is  $-(CH_2)_bNR_5R_6$ .
- 5 63. The method of claim 50 wherein  $R_2$  is  $R_4$ .
64. The method of claim 63 wherein  $R_4$  is substituted alkyl.
- 10 65. The method of claim 63 wherein  $R_4$  is substituted arylalkyl.
66. The method of claim 63 wherein  $R_4$  is substituted heterocycle.
- 15 67. The method of claim 63 wherein  $R_4$  is 3-triazolyl, optionally substituted at its 5-position with:
- (a) a  $C_1-C_4$  straight or branched chain alkyl group optionally substituted with a hydroxyl, methylamino, dimethylamino or 1-pyrrolidinyl group; or
- (b) a 2-pyrrolidinyl group.
- 20 68. The method of claim 63 wherein  $R_4$  is tetrazole.
69. The method of claim 63 wherein  $R_4$  is imidazole.
- 25 70. The compound of claim 1, wherein  $-A-R_1$  is phenyl, optionally substituted with one to four substituents independently selected from halogen, alkoxy,  $-NR_8C(=O)R_9$ ,  $-C(=O)NR_8R_9$ , and  $-O(CH_2)_bNR_8R_9$ , wherein  $b$  is 2 or 3.
- 30 71. The compound of claim 1, wherein  $R_2$  is  $-(CH_2)_bC(=O)NR_5R_6$ ,  $-(CH_2)_bNR_5C(=O)R_6$ , 3-triazolyl or 5-tetrazolyl, wherein  $b$  is 0.
72. The compound of claim 1, wherein  $R_2$  is 3-triazolyl or 5-tetrazolyl.
73. The compound of claim 1, wherein:
- (a)  $-A-R_1$  is phenyl, optionally substituted with one to four substituents independently selected from halogen, alkoxy,  $-NR_8C(=O)R_9$ ,  $-C(=O)NR_8R_9$ , and  $-O(CH_2)_bNR_8R_9$ , wherein  $b$  is 2 or 3; and

(b) R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)R<sub>6</sub>, 3-triazolyl or 5-tetrazolyl,  
wherein b is 0. *SATTAZ*

74. The compound of claim 1, wherein

(a) -A-R<sub>1</sub> is phenyl, optionally substituted with one to four substituents  
independently selected from halogen, alkoxy, -NR<sub>8</sub>C(=O)R<sub>9</sub>, -C(=O)NR<sub>8</sub>R<sub>9</sub>,  
and -O(CH<sub>2</sub>)<sub>b</sub>NR<sub>8</sub>R<sub>9</sub>, wherein b is 2 or 3; and

(b) R<sub>2</sub> is 3-triazolyl or 5-tetrazolyl.

10 75. The method of claim 22, wherein -A-R<sub>1</sub> is phenyl, optionally substituted  
with one to four substituents independently selected from halogen, alkoxy, -NR<sub>8</sub>C(=O)R<sub>9</sub>,  
-C(=O)NR<sub>8</sub>R<sub>9</sub>, and -O(CH<sub>2</sub>)<sub>b</sub>NR<sub>8</sub>R<sub>9</sub>, wherein b is 2 or 3.

15 76. The method of claim 22, wherein R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>R<sub>6</sub>,  
-(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)R<sub>6</sub>, 3-triazolyl or 5-tetrazolyl, wherein b is 0.

77. The method of claim 22, wherein R<sub>2</sub> is 3-triazolyl or 5-tetrazolyl.

20 78. The method of claim 22, wherein:

(a) -A-R<sub>1</sub> is phenyl, optionally substituted with one to four substituents  
independently selected from halogen, alkoxy, -NR<sub>8</sub>C(=O)R<sub>9</sub>, -C(=O)NR<sub>8</sub>R<sub>9</sub>,  
and -O(CH<sub>2</sub>)<sub>b</sub>NR<sub>8</sub>R<sub>9</sub>, wherein b is 2 or 3; and

(b) R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)R<sub>6</sub>, 3-triazolyl or 5-tetrazolyl,  
wherein b is 0.

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79. The method of claim 22, wherein

(a) -A-R<sub>1</sub> is phenyl, optionally substituted with one to four substituents  
independently selected from halogen, alkoxy, -NR<sub>8</sub>C(=O)R<sub>9</sub>, -C(=O)NR<sub>8</sub>R<sub>9</sub>,  
and -O(CH<sub>2</sub>)<sub>b</sub>NR<sub>8</sub>R<sub>9</sub>, wherein b is 2 or 3; and

30 (b) R<sub>2</sub> is 3-triazolyl or 5-tetrazolyl.

80. The method of claim 50, wherein -A-R<sub>1</sub> is phenyl, optionally substituted  
with one to four substituents independently selected from halogen, alkoxy, -NR<sub>8</sub>C(=O)R<sub>9</sub>,  
-C(=O)NR<sub>8</sub>R<sub>9</sub>, and -O(CH<sub>2</sub>)<sub>b</sub>NR<sub>8</sub>R<sub>9</sub>, wherein b is 2 or 3.

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81. The method of claim 50, wherein R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>R<sub>6</sub>,  
-(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)R<sub>6</sub>, 3-triazolyl or 5-tetrazolyl, wherein b is 0.

5 82. The method of claim 50, wherein R<sub>2</sub> is 3-triazolyl or 5-tetrazolyl.

10 10 (a) -A-R<sub>1</sub> is phenyl, optionally substituted with one to four substituents independently selected from halogen, alkoxy, -NR<sub>8</sub>C(=O)R<sub>9</sub>, -C(=O)NR<sub>8</sub>R<sub>9</sub>, and -O(CH<sub>2</sub>)<sub>b</sub>NR<sub>8</sub>R<sub>9</sub>, wherein b is 2 or 3; and

(b) R<sub>2</sub> is -(CH<sub>2</sub>)<sub>b</sub>C(=O)NR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>b</sub>NR<sub>5</sub>C(=O)R<sub>6</sub>, 3-triazolyl or 5-tetrazolyl, wherein b is 0.

15 84. The method of claim 50, wherein:  
(a) -A-R<sub>1</sub> is phenyl, optionally substituted with one to four substituents independently selected from halogen, alkoxy, -NR<sub>8</sub>C(=O)R<sub>9</sub>, -C(=O)NR<sub>8</sub>R<sub>9</sub>, and -O(CH<sub>2</sub>)<sub>b</sub>NR<sub>8</sub>R<sub>9</sub>, wherein b is 2 or 3; and  
(b) R<sub>2</sub> is 3-triazolyl or 5-tetrazolyl.

20 85. The compound of claim 18 wherein R<sub>4</sub> is methyl, n-propyl, isopropyl, 1-hydroxyethyl, 3-hydroxypropyl, methylaminomethyl, dimethylaminomethyl, 1-(dimethylamino)ethyl, 1-pyrrolidinylmethyl or 2-pyrrolidinyl.

25 86. The method of claim 47 wherein R<sub>4</sub> is methyl, n-propyl, isopropyl, 1-hydroxyethyl, 3-hydroxypropyl, methylaminomethyl, dimethylaminomethyl, 1-(dimethylamino)ethyl, 1-pyrrolidinylmethyl or 2-pyrrolidinyl.

30 87. The method of claim 67 wherein R<sub>4</sub> is methyl, n-propyl, isopropyl, 1-hydroxyethyl, 3-hydroxypropyl, methylaminomethyl, dimethylaminomethyl, 1-(dimethylamino)ethyl, 1-pyrrolidinylmethyl or 2-pyrrolidinyl.